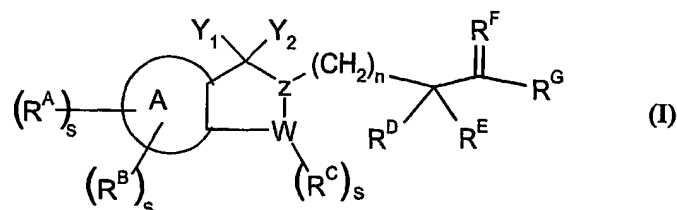


Claims

1. A compound of the general formula (I):

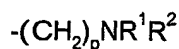


wherein

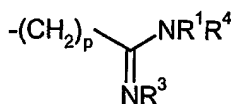
5 ring A is selected from : aryl and 5- or 6- membered heteroaryl;

R^A is selected from: $-\text{NO}_2$, $-(\text{CH}_2)_p\text{CN}$, $-\text{C}(=\text{O})-\text{NR}^1\text{R}^2$, $-\text{C}(=\text{S})\text{NR}^1\text{R}^2$, $-\text{C}(=\text{NR}^1)-\text{SMe}$ and $-\text{C}(=\text{NR}^1)-\text{OMe}$, or

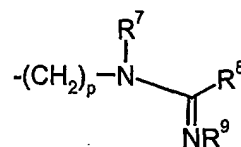
R^A is selected from one of the following groups of formula (2), formula (3) and formula (4):



(2)



(3)



(4)

10 wherein p is 0, 1, 2, 3, 4 or 5;

s is 1, 2 or 3, and when s is 2 or 3 the groups R^A are independent of each other and can be the same or different;

R^1 and R^2 are independently selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, $-\text{C}(=\text{O})\text{OR}^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle; or R^1 and R^2 , together with the nitrogen atom to which they are attached, form a saturated, partially saturated or aromatic heterocycle, optionally containing at least one additional hetero atom selected from: N, O and S;

R^3 and R^4 are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, $-\text{C}(=\text{O})\text{OR}^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, $-\text{OR}^5$, $-\text{SR}^5$, $-\text{NR}^5\text{R}^6$, $-\text{S}(=\text{O})_2\text{NR}^5\text{R}^6$, $-\text{S}(=\text{O})_2\text{R}^5$, $-\text{C}(=\text{O})\text{R}^5$, $-\text{C}(=\text{O})\text{NR}^5\text{R}^6$, $-\text{C}(=\text{O})\text{OR}^5$, $-\text{C}(=\text{O})\text{SR}^5$, $-\text{OC}(=\text{O})\text{R}^5$, $-\text{OC}(=\text{O})\text{OR}^5$, $-\text{OC}(=\text{O})\text{NR}^5\text{R}^6$, $-\text{OS}(=\text{O})_2\text{R}^5$, $-\text{S}(=\text{O})\text{NR}^5$ and $-\text{OS}(=\text{O})_2\text{NR}^5\text{R}^6$, or R^3 and R^1 or R^4 , together with the respective nitrogen atoms to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered partially saturated or aromatic heterocycle, optionally having one or more additional heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-\text{C}(=\text{O})\text{OR}^5$;

R^5 and R^6 are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position;

5 R^7 and R^9 have the same meaning as R^3 and R^4 , defined above;

R^8 is selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein said heterocycle is saturated, partially saturated or aromatic and contains at least one hetero atom selected from: N, O and S, with its point of attachment either through C or N, and wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl groups optionally contains at least one hetero atom selected from: N, O and S, anywhere in the chain, including the terminal position;

R^B is selected from: H, halogen, -CN, -NO₂, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, -NR¹⁰R¹¹, -OR¹⁰, -SR¹⁰, S(O)R¹⁰, S(O)₂R¹⁰, -NHC(=O)R¹⁰, -NHOR¹⁰, -OC(=O)R¹⁰, -SC(=O)R¹⁰, -NHC(=O)OR¹⁰, -OC(=O)OR¹⁰, -C(=O)NR¹⁰R¹¹, -C(=O)R¹⁰, and -C(=O)OR¹⁰;

15 R^{10} and R^{11} have the same meaning as R^5 or R^6 , defined above;

s is 1, 2 or 3 and when s is 2 or 3 the groups R^B are independent of each other and can be same or different;

Y^1 and Y^2 are independently selected from: H, R^{12} , R^{13} , NR¹²R¹³, OR¹², SR¹², CH₂(OR¹²), CH₂(SR¹²), CH₂S(=O)R¹² and CH₂S(=O)₂R¹², or

Y^1 and Y^2 , together, are selected from: =O, =S, =CR¹²R¹³, =NR¹² and =N-OR¹²;

R^{12} and R^{13} are selected from: H, OR⁵, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl and aryl;

Z is CH or N;

25 W is (CH₂)_u, wherein u is the integer 1 or 2;

R^C is selected from: R^5 , =O, =NR¹⁴, =S, CN, NR¹⁴R¹⁵, OR¹⁴, SR¹⁴, S(=O)₂R¹⁶ and COR¹⁶;

R^{14} and R^{15} have the same meaning as R^5 and R^6 , defined above;

s is 1, 2 or 3 and when s is 2 or 3 the groups R^C are independent of each other and can be same or different;

30 R^{16} is selected from: H, OR¹⁴, N(R¹⁴)₂, NR¹⁴R¹⁵, SR¹⁴ and R^5 , wherein R^5 , R^{14} and R^{15} are as defined above;

n is 0, 1, 2, 3, 4 or 5;

R^D and R^E are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl,

alkynyl, oxo, carboxy, $-C(=O)OR^5$, $-OR^{17}$, $-SR^{17}$, $-NR^{17}R^{18}$, $-NHC(=O)R^{17}$, $-NHC(=O)OR^{17}$, $-OC(=O)R^{17}$, $-SC(=O)R^{17}$, $-OS(=O)_2R^{17}$ and $-NHS(=O)_2R^{17}$;

R^{17} and R^{18} have the same meaning as R^5 and R^6 , defined above;

R^F is selected from: (H, H), (-H, -OH), O, S, $N(OR^{19})$, $N[OC(=O)OR^{19}]$, $N[OC(=O)R^{19}]$ and $N[OS(=O)_2NR^{19}R^{20}]$;

R^{19} and R^{20} have the same meaning as R^5 and R^6 , defined above;

R^G is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle, where said aryl, heteroaryl and heterocycle are substituted in each case by one or more groups independently selected from: $-R^5$, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-SC(=O)H$, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$, $-S(=O)_2OR^{21}$ and a group of formula (5):



R^{21} and R^{22} have the same meaning as R^1 and R^2 , defined above:

T is selected from: $-CH_2$, O, S and NH;

q is 0, 1, 2, 3, 4, 5 or 6 ;

R^{23} and R^{24} are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl,

cycloalkylalkyl, aryl, arylalkyl, heterocycle and $C(=O)R^{25}$, wherein said alkyl and alkenyl

optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from: $-OR^1$, $-OC(=O)R^1$, $-OS(=O)_2R^1$, $-S(=O)_2NR^1R^2$, $-OC(=O)OR^1$, $-OC(=O)SR^1$, $-OC(=O)NR^1R^2$, $-SR^1$, $-S(=O)R^1$, $-SC(=O)H$, $-SC(=O)OR^1$, $-NR^1(OR^2)$, $-NR^1R^2$, $-NR^1C(=O)R^2$, $-N(R^1)C(=O)OR^2$, $-NR^1S(=O)_2R^2$, $C(=O)OR^1$, $-S(=O)_2R^1$ and

$-S(=O)_2OR^1$;

R^{25} is selected from: OR^5 , SR^5 , $-OCR^3R^4$ and $-NR^5R^6$, wherein R^3 , R^4 , R^5 and R^6 are as defined above and wherein optionally, R^3 and R^4 , together with the carbon to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-C(=O)OR^5$; and the group NR^5R^6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

in all its stereoisomeric and tautomeric forms and mixtures thereof in all ratios, and its pharmaceutically acceptable salts, pharmaceutically acceptable solvates and prodrugs.

2. A compound according to claim 1, wherein

ring A is aryl;

p is 0, 1 or 2;

s is 1;

5 Y¹ and Y², together, are selected from: =O, =S, =CR¹²R¹³, =NR¹² and =N-OR¹²;

Z is N;

R^F is selected from: (H, H), (H, OH), O and S;

R^G is selected from: aryl, heteroaryl and partially or fully saturated heterocycle, wherein said aryl, heteroaryl and heterocycle are substituted by one or more groups independently selected from: -R⁵, halogen, -CN, SCN, CNO, -OR²¹, -OC(=O)R²¹, -OS(=O)₂R²¹, -OS(=O)₂NR²¹R²², -OC(=O)OR²¹, -OC(=O)SR²¹, -OC(=O)NR²¹R²², -SR²¹, -S(=O)R²¹, -NO₂, -NR²¹(OR²²), -NR²¹R²², -NR²¹C(=O)R²², -N(R²¹)C(=O)OR²², -N[S(=O)₂R²¹]R²³, -C(=O)OR²¹, -S(=O)₂R²¹, S(=O)₂OR²¹ and a group of the formula (5); and

10 q is 0, 1, 2 or 3.

15 3. A compound according to claim 1 or claim 2, wherein

ring A is phenyl;

p is 0, 1 or 2;

s is 1;

20 Y¹ and Y², together, are selected from: =O and =S;

Z is N;

R^C is selected from: H, alkyl, aryl, heterocycle, =O, =NR¹⁴, =S, CN, NR¹⁴R¹⁵, OR¹⁴, SR¹⁴, S(=O)₂R¹⁶ and COR¹⁶;

n is 0, 1, 2 or 3;

25 R^G is selected from: aryl, heteroaryl and partially or fully saturated heterocycle, wherein said aryl, heteroaryl and heterocycle are substituted by one or more groups of formula (5) and, optionally, further substituted by one or more groups selected from: -R⁵, halogen, -CN, -SCN, -CNO, -OR²¹, -OC(=O)R²¹, -OS(=O)₂R²¹, -OS(=O)₂NR²¹R²², -OC(=O)OR²¹, -OC(=O)SR²¹, -OC(=O)NR²¹R²², -SR²¹, -S(=O)R²¹, -NO₂, -NR²¹(OR²²), -NR²¹R²², -NR²¹C(=O)R²², -N(R²¹)C(=O)OR²², -N[S(=O)₂R²¹]R²³, -C(=O)OR²¹, -S(=O)₂R²¹ and -S(=O)₂OR²¹; and

30 q is 0, 1, 2 or 3.

4. A compound according to any one of claims 1 to 3, wherein

ring A is phenyl;

35 R^G is selected from: phenyl, piperidiny and piperazinyl, substituted with one or more groups selected from: a group of the formula (5), OCH₂Phenyl and -CH₂C(O)R²⁵, and, optionally,

- further substituted by one or more groups selected from: $-R^5$, halogen, $-CN$, $-SCN$, $-CNO$, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-SC(=O)H$, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-NR^{21}S(=O)_2R^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $S(=O)_2R^{21}$ and $-S(=O)_2OR^{21}$; and
- W is $(CH_2)_u$, wherein u is 1.

5. A compound according to any one of the preceding claims, wherein
- R^A is a group of the formula (3);
- R_1 is hydrogen;
- R_3 and R_4 are independently selected from: H, OH, $-C(O)OH$ and $-C(O)Oalkyl$;
- $R^B = R^C = R^D = R^E =$ hydrogen;
- Z is N;
- Y^1 and Y^2 , together are $=O$;
- n is the integer 0 or 1;
- R^G is phenyl, substituted with one or more groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, $-C(=O)OR^5$, SR^{21} , $S(=O)_2R^{21}$, $-N(R^{21})-C(O)CH_3$, $-CH_2C(O)R^{25}$ and $-T-(CH_2)_q-CH_2-C(O)R^{25}$;
- q is 0, 1, 2 or 3;
- R^{25} is selected from: OR^5 , OCR^3R^4 and NR^5R^6 , wherein R^3 and R^4 , together with the carbon to which they are attached form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, $-C(=O)OR^5$; and
- R^5 , R^6 and R^{21} are independently selected from: H, alkyl and phenyl.

6. A compound according to any one of claims 1 to 4, wherein
- R^A is a group of the formula (3);
- R_1 is hydrogen;
- R_3 and R_4 are independently selected from: H, OH, $-C(O)OH$ and $-C(O)Oalkyl$;
- $R^B = R^C = R^D = R^E =$ hydrogen;
- Z is N;
- Y^1 and Y^2 , together are $=O$;
- n is the integer 0 or 1;

R^G is selected from: piperidinyl and piperazinyl, wherein said piperidinyl and piperazinyl are substituted with one or more groups selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy, $-C(=O)OR^5$ and $-T-(CH_2)_q-CH_2-C(O)R^{25}$;

q is 0, 1, 2 or 3; and

5 R^{25} is OR^5 , wherein R^5 is selected from: H, alkyl and phenyl.

7. A compound according to any one of the preceding claims 1 to 4 selected from:

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

10 (4- {2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

15 (4- {2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl]-phenoxy)-acetic acid isopropyl ester;

(4- {2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

20 (4- {2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4- {2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

25 (4- {2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4- {2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

30 (4- {2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4- {2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

35 (4- {2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy) -
acetic acid isobutyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid
benzyl ester;
- 5 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;
(4-{2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-
phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-
phenoxy)-acetic acid benzyl ester;
- 10 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethoxy carbonyl
methoxy-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-
2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-{3-methyl-butyrylamino}-methyl)-1-oxo-1,3-
15 dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-
2-yl]-1-hydroxyimino-ethyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isobutoxy carbonyl
methoxy-phenoxy)-acetic acid isobutyl ester;
- 20 2-(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-NN-diethyl-
acetamide;
- 4-(2-{4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxy}-acetoxo)-
piperidine-1-carboxylic acid benzyl ester;
- 4-Benzylloxycarbonylamino-2-(4-{2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-
25 acetyl}-phenoxy)-butyric acid ethyl ester;
- 4-Benzylloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-
isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-
phenylsulfanyl)-acetic acid methyl ester;
- 30 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chloro-phenoxy)-acetic
acid ethyl ester;
- (2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-
acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-
35 phenoxy)-acetic acid ethyl ester;

- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester;
- (2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- 5 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;
- (2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2,6-Bis-ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- 10 (2-Acetylamino-4-{2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- 15 (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;
- 20 (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;
- (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid;
- 25 (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;
- (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-propoxy-phenoxy)-acetic acid ethyl ester;
- 30 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;
- (3-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;
- (2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;
- 35

(2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;

5 (2-*tert*-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

10 (2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;

15 (2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;

20 (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;

(4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

25 (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;

(3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

30 (2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperazine-1-yl)-acetic acid ethyl ester;

(1-{2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

5 (1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

10 (1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(*tert*-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid;

15 (4-{2-[5-Acetimidoylamino-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

20 (4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy-phenoxy)-acetic acid;

(3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

25 (4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

(3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

30 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid; and

(3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester.

8. A compound according to claim 5 selected from:

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

5 (4- {2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid methyl ester;

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4- {2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

10 (4-(2-{5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl}-acetyl)-phenoxy)-acetic acid isopropyl ester;

(4- {2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

15 (4- {2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4- {2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

(4- {2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isopropyl ester;

20 (4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4- {2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

25 (4- {2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4- {2-[5-(Benzyloxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4- {2-[5-(Imino-methanesulfonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

30 (4- {2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid isobutyl ester;

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4- {2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

35 (4- {2-[5-(Imino-methoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-

phenoxy)-acetic acid benzyl ester;

(4-{2-[5-(Imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-

phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethoxy carbonyl

5 methoxy-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-4-{2-[5-(imino-{3-methyl-butyrylamino}-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

10 (2-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-1-hydroxyimino-ethyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isobutoxy carbonyl methoxy-phenoxy)-acetic acid isobutyl ester;

2-(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-NN-diethyl-
15 acetamide;

4-(2-{4-[2-(5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-phenoxy}-acetoxo)-piperidine-1-carboxylic acid benzyl ester;

4-Benzylloxycarbonylamino-2-(4-{2-[5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

20 4-Benzylloxycarbonylamino-2-(4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-butyric acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenylsulfanyl)-acetic acid methyl ester;

25 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-chloro-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(imino-isobutoxycarbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

30 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethyl sulfanyl-phenoxy)-acetic acid ethyl ester;

(2-Ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

35 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-ethane sulfonyl-phenoxy)-acetic acid ethyl ester;

(2-Ethanesulfonyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2,6-Bis-ethylsulfanyl-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

5 (2-Acetylamino-4-{2-[5-N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(imino-isobutoxy carbonylamino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

10 (2-(Ethoxycarbonylmethyl-methanesulfonyl-amino)-4-{2-[5-(N-hydroxy carbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

15 (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid benzyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid;

20 (4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-methoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-propoxy-phenoxy)-acetic acid ethyl ester;

25 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy carbonylmethoxy-phenoxy)-acetic acid ethyl ester;

(3-Ethoxycarbonylmethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid;

(2-Ethylsulfanyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

30 (2-Ethyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(5-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-isopropyl-phenoxy)-acetic acid ethyl ester;

35 (2-*tert*-Butyl-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Chloro-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

5 (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-methyl-phenoxy)-acetic acid benzyl ester;

10 (2-Ethyl-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid benzyl ester;

15 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid;

(4-Hydroxy-3-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

20 (3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-5-methoxy-phenoxy)-acetic acid ethyl ester;

(3,5-Dihydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(2-Ethoxycarbonylmethoxy-3-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

25 (2-Ethoxycarbonylmethoxy-5-hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Acetimidoylamino-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

30 (3-Ethoxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-[2-(5-carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl)-acetyl]-3-ethoxy-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-ethoxy-phenoxy)-acetic acid;

35 (3-Hydroxy-4-{2-[1-oxo-5-(5-oxo-2,5-dihydro-[1,2,4]oxadiazol-3-yl)-1,3-dihydro-isoindol-2-

yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-(Acetylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-phenoxy)-acetic acid ethyl ester;

5 (3-Acetoxy-4-{2-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester;

(4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-3-hydroxy-2-propyl-phenoxy)-acetic acid ethyl ester;

(3-Hydroxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-2-propyl-phenoxy)-acetic acid; and

10 (3-Allyloxy-4-{2-[5-(N-hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-phenoxy)-acetic acid ethyl ester.

9. A compound according to claim 6 selected from:

15 (4-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperazine-1-yl)-acetic acid ethyl ester;

(1-{2S-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-3-(4-hydroxy-phenyl)-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

20 (1-{3-[5-(N-Hydroxycarbamimidoyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-propionyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(5-Methyl-isoxazol-3-yl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

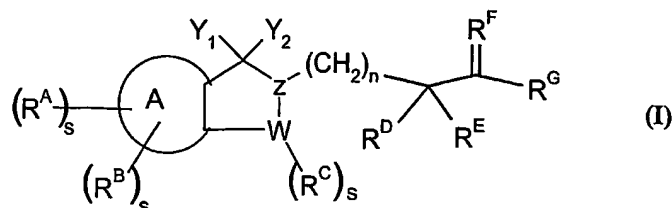
25 (1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester;

(1-{2-[5-(*tert*-Butoxycarbonylamino-imino-methyl)-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid ethyl ester; and

(1-{2-[5-Carbamimidoyl-1-oxo-1,3-dihydro-isoindol-2-yl]-acetyl}-piperidin-4-yloxy)-acetic acid.

30

10. A process for the preparation of a compound of general formula (I):

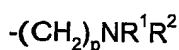


wherein

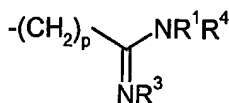
ring A is phenyl;

R^A is selected from: $-\text{NO}_2$, $-(\text{CH}_2)_p\text{CN}$, $-\text{C}(=\text{O})-\text{NR}^1\text{R}^2$, $-\text{C}(=\text{S})\text{NR}^1\text{R}^2$, $-\text{C}(=\text{NR}^1)-\text{SMe}$ and $-\text{C}(=\text{NR}^1)-\text{OMe}$, or

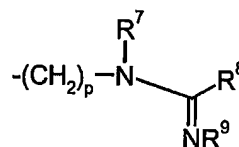
R^A is selected from one of the following groups of formula (2), formula (3) and formula (4):



(2)



(3)



(4)

wherein p is 0, 1, 2, 3, 4 or 5;

s is 1, 2 or 3, and when s is 2 or 3 the groups R^A are independent of each other and can be the same or different;

R^1 and R^2 are, independently, selected from: H, hydroxy, alkyl, partially or fully fluorinated alkyl, alkoxy, alkenyl, alkynyl, carboxy, $-\text{C}(=\text{O})\text{OR}^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle; or R^1 and R^2 , together with the nitrogen atom to which they are attached, form a saturated, partially saturated, or aromatic heterocycle, optionally containing at least one additional hetero atom selected from: N, O and S;

R^3 and R^4 are independently selected from: H, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, $\text{C}(=\text{O})\text{OR}^5$, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle; $-\text{OR}^5$, $-\text{SR}^5$, $-\text{NR}^5\text{R}^6$, $-\text{S}(=\text{O})_2\text{NR}^5\text{R}^6$, $-\text{S}(=\text{O})_2\text{R}^5$, $-\text{C}(=\text{O})\text{R}^5$, $-\text{C}(=\text{O})\text{NR}^5\text{R}^6$, $-\text{C}(=\text{O})\text{OR}^5$, $-\text{C}(=\text{O})\text{SR}^5$, $-\text{OC}(=\text{O})\text{R}^5$, $-\text{OC}(=\text{O})\text{OR}^5$, $-\text{OC}(=\text{O})\text{NR}^5\text{R}^6$, $-\text{OS}(=\text{O})_2\text{R}^5$, $-\text{S}(=\text{O})\text{NR}^5$ and $-\text{OS}(=\text{O})_2\text{NR}^5\text{R}^6$, or

R^3 and R^4 , together with the respective nitrogen atoms to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered partially saturated or aromatic heterocycle, optionally having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-\text{C}(=\text{O})\text{OR}^5$;

R^5 and R^6 are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, and heterocycle, wherein each of said alkyl, alkenyl, alkynyl,

cycloalkyl and cycloalkylalkyl group optionally contains at least one hetero atom selected from: N, S and O anywhere in the chain, including the terminal position;

R^7 and R^9 have the same meaning as R^3 and R^4 , defined above;

R^8 is selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, and heterocycle, wherein said heterocycle is saturated, partially saturated or aromatic and contains at least one hetero atom selected from: N, O and S, with its point of attachment either through C or N, and wherein each of said alkyl, alkenyl, alkynyl, cycloalkyl and cycloalkylalkyl groups optionally contains at least one hetero atom selected from: N, O and S, anywhere in the chain, including the terminal position;

R^B is selected from: H, halogen, -CN, -NO₂, alkyl, partially or fully fluorinated alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, -NR¹⁰R¹¹, -OR¹⁰, -SR¹⁰, S(O)R¹⁰, S(O)₂R¹⁰, -NHC(=O)R¹⁰, -NHOR¹⁰, -OC(=O)R¹⁰, -SC(=O)R¹⁰, -NHC(=O)OR¹⁰, -OC(=O)OR¹⁰, -C(=O)NR¹⁰R¹¹, -C(=O)R¹⁰, and -C(=O)OR¹⁰;

R^{10} and R^{11} have the same meaning as R^5 or R^6 defined above;

s is 1, 2 or 3 and when s is 2 or 3 the groups R^B are independent of each other and can be same or different;

Y^1 and Y^2 are independently selected from: H, R^{12} , R^{13} , NR¹²R¹³, OR¹², SR¹², CH₂(OR¹²), CH₂(SR¹²), CH₂S(=O)R¹² and CH₂S(=O)₂R¹², or

Y^1 and Y^2 , together, are selected from: =O, =S, =CR¹²R¹³, =NR¹² and =N-OR¹²;

R^{12} and R^{13} are selected from: H, OR⁵, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl and aryl;

Z is CH or N;

W is (CH₂)_u, wherein u is the integer 1 or 2;

R^C is selected from: R^5 , =O, =NR¹⁴, =S, CN, NR¹⁴R¹⁵, OR¹⁴, SR¹⁴, S(=O)₂R¹⁶ and COR¹⁶;

R^{14} and R^{15} have the same meaning as R^5 and R^6 , defined above;

s is 1, 2 or 3 and when s is 2 or 3 the groups R^C are independent of each other and can be same or different;

R^{16} is selected from: H, OR¹⁴, N(R¹⁴)₂, NR¹⁴R¹⁵, SR¹⁴ and R^5 , wherein R^5 , R^{14} and R^{15} are as defined above;

n is 0, 1, 2, 3, 4 or 5;

R^D and R^E are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy, -C(=O)OR⁵, -OR¹⁷, -SR¹⁷, -NR¹⁷R¹⁸, -NHC(=O)R¹⁷, -NHC(=O)OR¹⁷, -OC(=O)R¹⁷, -SC(=O)R¹⁷, -OS(=O)₂R¹⁷ and -NHS(=O)₂R¹⁷;

R^{17} and R^{18} have the same meaning as R^5 and R^6 , defined above;

R^F is selected from: (H, H), (-H, -OH), O, S, $N(OR^{19})$, $N[OC(=O)OR^{19}]$, $N[OC(=O)R^{19}]$ and $N[OS(=O)_2NR^{19}R^{20}]$,

R^{19} and R^{20} have the same meaning as R^5 and R^6 , defined above;

5 R^G is selected from: aryl, heteroaryl, and partially or fully saturated heterocycle, wherein said aryl, heteroaryl, and heterocycle are substituted by one or more groups independently selected from: $-R^5$, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-SC(=O)H$, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$, $-S(=O)_2OR^{21}$ and a group of formula (5):



R^{21} and R^{22} have the same meaning as R^1 and R^2 , defined above;

T is selected from: $-CH_2$, O, S and NH;

q is 0, 1, 2, 3, 4, 5 or 6 ;

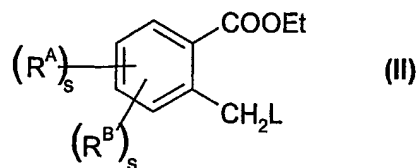
15 R^{23} and R^{24} are independently selected from: , H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, and $C(=O)R^{25}$, wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from: $-OR^1$, $-OC(=O)R^1$, $-OS(=O)_2R^1$, $-S(=O)_2NR^1R^2$, $-OC(=O)OR^1$, $-OC(=O)SR^1$, $-OC(=O)NR^1R^2$, $-SR^1$, $-S(=O)R^1$, $-SC(=O)H$, $-SC(=O)OR^1$, $-NR^1(OR^2)$, $-NR^1R^2$, $-NR^1C(=O)R^2$, $-N(R^1)C(=O)OR^2$, $-NR^1S(=O)_2R^2$, $C(=O)OR^1$, $-S(=O)_2R^1$ and $-S(=O)_2OR^1$;

20 R^{25} is selected from: OR^5 , SR^5 , $-OCR^3R^4$ and $-NR^5R^6$, wherein R^3 , R^4 , R^5 and R^6 are as defined above and wherein, optionally, R^3 and R^4 , together with the carbon atom to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle, having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-C(=O)OR^5$; and the group NR^5R^6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

30 which process comprises

(a) reacting compound of formula (II):

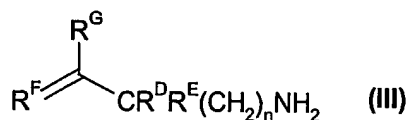
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wherein

L is a leaving group; and all other symbols are as defined above; with

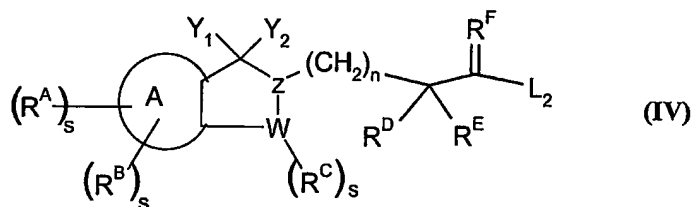
a compound of the formula (III):



wherein all symbols are as defined above;

in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from -40°C to 150°C , for 0.5 to 16 h, to effect in situ cyclization to form a compound of the general formula (I) above, and, optionally, converting the compound into a physiologically tolerable salt or prodrug; or

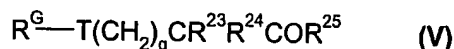
b) reacting a compound of the formula (IV)



wherein

L_2 is a leaving group; and all other symbols are as defined above;

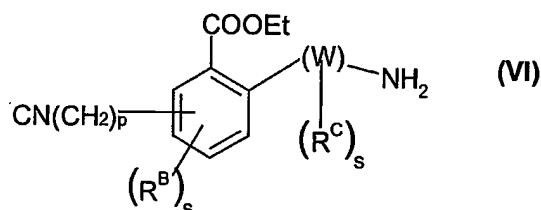
with a compound of the formula (V):



where R^G is selected from: piperidinyl, piperazinyl and phenyl, wherein said piperidinyl, piperazinyl and phenyl, are optionally substituted with 1, 2, 3 or 4 hydroxyl groups, and all other symbols are as defined above, in the presence of an organic or inorganic base in an organic solvent or water at a temperature ranging from 0°C to 150°C , for 0.5 to 12 h, to form a compound of the general formula (I), and, optionally, converting one or more of the hydroxyl groups into a group selected from the substituents for R^G as defined in general formula (I) and, optionally, converting the compound into a physiologically tolerable salt or prodrug; alternatively, activating a compound of the formula (IV) above, wherein L_2 is $-\text{OH}$, by

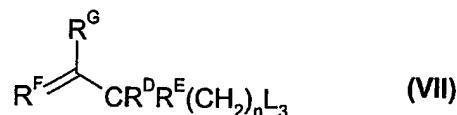
treatment with a mixed anhydride to form a peptide coupling with a compound of the formula (V), wherein R^G is piperidinyl or piperazinyl, and thereby provide a compound of the general formula (I), wherein R^G is piperidinyl or piperazinyl substituted with at least a group of the formula 5; and, optionally, converting the resultant compound into a physiologically tolerable salt or prodrug; or

c) alkylating a compound of the formula (VI):



wherein

W is $-(CH_2)_u$ wherein u is 2; and all other symbols are as defined above; with a compound of the formula (VII)



wherein

R^G is phenyl substituted with a group of the formula (5) or $-OCH_2Phenyl$, and, optionally, substituted with at least one further group selected from: $-R^5$, halogen, $-CN$, $-SCN$, $-CNO$, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-SC(=O)H$, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$, $-S(=O)_2OR^{21}$ and a group of the formula 5;

L_3 is a leaving group; and all other symbols are as defined above;

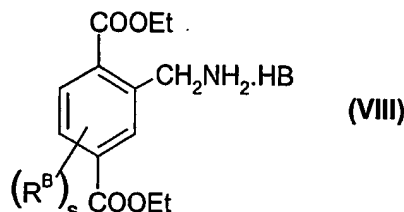
in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from $-40^\circ C$ to $150^\circ C$, for 0.5 to 16 h, to effect in situ cyclization to form a compound of the general formula (I) above, wherein R^G

is phenyl substituted with at least a group of the formula (5) or $-OCH_2Phenyl$,

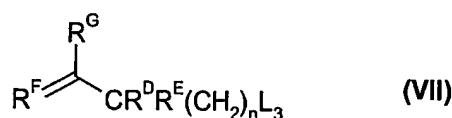
and when R^G is substituted with $-OCH_2Phenyl$; optionally converting the $-OCH_2Phenyl$ into hydroxyl and subsequently coupling the hydroxyl with the group $L_4-(CH_2)_q-CR^{23}R^{24}-COR^{25}$, wherein L_4 is a leaving group; and all the other symbols are as defined in general formula (I);

and, optionally converting the $-(CH_2)_pCN$ group into a group of the formula 3, and, optionally, converting the resultant compound into a physiologically tolerable salt or prodrug; or

- 5 d) alkylating a compound of the formula (VIII):



wherein B is halogen, acetate or formate, and all other symbols are as defined above; with a compound of the formula:



- 10 wherein

R^G is phenyl, having at least one substituent which is $OCH_2Phenyl$, and optionally at least one further substituent selected from: $-R^5$, halogen, $-CN$, $-SCN$, $-CNO$, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-SC(=O)H$, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}OH$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, $-N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$ and $-S(=O)OR^{21}$; and

15 L_3 is a leaving group; and all other symbols are as defined above; in the presence of an organic or inorganic base in an organic solvent or a mixture of at least two different organic solvents, at a temperature ranging from $-40^\circ C$ to $150^\circ C$, for 0.5 to 16 h, to effect in situ cyclization to form the compound of general formula (I), wherein R^A is $-COOEt$ and s is 2;

20 optionally converting one or both of the $-COOEt$ groups into the cyano group $-(CH_2)_pCN$, wherein p is as defined; optionally, subsequently converting at least one of the cyano groups into a compound of the formula 3, as defined; and, optionally, converting the resultant compound into a physiologically tolerable salt or prodrug.

- 25 11. A pharmaceutical composition, comprising a compound of formula (I) according to any one of the preceding claims 1 to 9, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

12. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to any one of the preceding claims 1 to 9, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

13. A pharmaceutical composition for inhibiting the binding of fibrinogen to blood platelets, comprising a compound of formula (I) according to any one of the preceding claims 1 to 9, or a pharmaceutically acceptable salt thereof, in combination with an antithrombotic agent and a pharmaceutically acceptable carrier.

14. The use of a compound according to any one of the preceding claims 1 to 9, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the inhibition of the binding of fibrinogen to blood platelets.

15. The use of a compound according to any one of the preceding claims 1 to 9, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the prevention or treatment of cardiovascular and cerebrovascular thromboembolic diseases.

16. The use according to claim 15 wherein the cardiovascular and cerebrovascular thromboembolic diseases include: arterial thromboembolism, cerebral thromboembolism, cerebral arterial thrombosis, coronary thrombosis, deep vein thrombosis, diabetes-related thromboembolic disorders, sudden ischemic emergencies, myocardial infarction, pulmonary thromboembolisms, stroke, thrombophlebitis, transient ischemic attack, unstable angina and venous thrombosis or kidney thromboembolism.

17. The use of a compound according to any one of the preceding claims 1 to 9, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the inhibition of blood platelet aggregation.

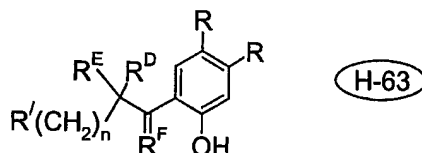
18. The use according to claim 17, wherein blood platelet aggregation includes platelet thrombosis, thromboembolism and reocclusion during and after thrombolytic therapy and platelet thrombosis, thromboembolism and reocclusion after angioplasty or coronary artery bypass surgery, and blood clots after orthopedic surgery.

19. The use of a compound according to any one of the preceding claims 1 to 9, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the prevention and treatment of diseases involving a cell adhesion process.

20. The use according to claim 19, wherein diseases involving a cell adhesion process include:

adult respiratory distress syndrome, allergies, asthma, rupture of atherosclerotic plaques, autoimmune diseases, inflammation, bone degradation, contact dermatitis, diabetic retinopathy, eczema, graft versus host disease, inflammatory bowel disease, metastasis, organ transplantation rejection, osteoarthritis, osteoporosis, psoriasis, rheumatoid arthritis, septic shock and tumors.

21. A process for the preparation of intermediate H-63



wherein R is a group of formula (5), below:



wherein

T is selected from: $-CH_2$, O, S and NH;

q is 0, 1, 2, 3, 4, 5 or 6;

R^{23} and R^{24} are independently selected from: H, alkyl and alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle and $C(=O)R^{25}$, wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl and alkenyl are unsubstituted or substituted with at least one group selected from: $-OR^1$, $-OC(=O)R^1$, $-OS(=O)_2R^1$, $-S(=O)_2NR^1R^2$, $-OC(=O)OR^1$, $-OC(=O)SR^1$, $-OC(=O)NR^1R^2$, $-SR^1$, $-S(=O)R^1$, $-SC(=O)H$, $-SC(=O)OR^1$, $-NR^1(OR^2)$, $-NR^1R^2$, $-NR^1C(=O)R^2$, $-N(R^1)C(=O)OR^2$, $-NR^1S(=O)_2R^2$, $C(=O)OR^1$, $-S(=O)_2R^1$ and $-S(=O)_2OR^1$;

R^1 and R^2 are as defined in general formula (I) above;

R^{25} is selected from: OR^5 , SR^5 , $-OCR^3R^4$ and $-NR^5R^6$, wherein R^3 , R^4 , R^5 and R^6 are as defined in general formula (I), and wherein, optionally, R^3 and R^4 , together with the carbon atom to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl,

alkynyl, oxo, carboxy and $-C(=O)OR^5$; and the group NR^5R^6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N;

R' is H, a protected amino group, or a suitable leaving group;

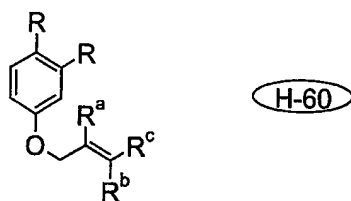
R^D and R^E are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy, $-C(=O)OR^5$, $-OR^{17}$, $-SR^{17}$, $-NR^{17}R^{18}$, $-NHC(=O)R^{17}$, $-NHC(=O)OR^{17}$, $-OC(=O)R^{17}$, $-SC(=O)R^{17}$, $-OS(=O)_2R^{17}$ and $-NHS(=O)_2R^{17}$;

R^{17} and R^{18} have the same meaning as R^5 and R^6 ;

R^F is =O; and

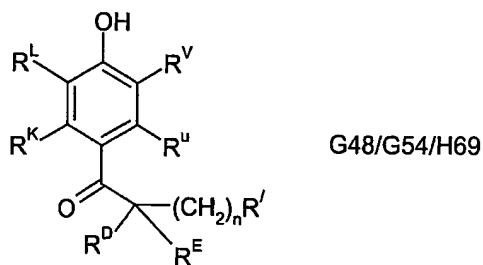
n is 0, 1, 2, 3, 4 or 5;

which process comprises reacting the O-allylic compound H-60



wherein R^a , R^b and R^c are independently selected from: alkyl and alkylaryl, and R has the meaning defined above, with the compound $R'(CH_2)_nCR^DR^E\text{COCl}$, wherein R' , R^D , R^E and n are as defined above, in the presence of a catalyst and an organic solvent or mixture of at least two organic solvents at a temperature ranging from room temperature to 120°C , for a period of 2 to 12 h and, optionally, isolating the intermediate H-63 from the reaction mixture.

22. A process for the preparation of intermediates G48, G54 and H69



wherein R^K , R^L , R^V and R^U are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, halogen, -CN, -SCN, -CNO, $-OR^{21}$, $-OC(=O)R^{21}$, $-OS(=O)_2R^{21}$, $-OS(=O)_2NR^{21}R^{22}$, $-OC(=O)OR^{21}$, $-OC(=O)SR^{21}$, $-OC(=O)NR^{21}R^{22}$, $-SR^{21}$, $-S(=O)R^{21}$, $-SC(=O)H$, $-SC(=O)OR^{21}$, $-NO_2$, $-NR^{21}(OR^{22})$, $-NR^{21}R^{22}$, $-NR^{21}C(=O)R^{22}$, -

$N(R^{21})C(=O)OR^{22}$, $-N[S(=O)_2R^{21}]R^{23}$, $C(=O)OR^{21}$, $-S(=O)_2R^{21}$, $-S(=O)_2OR^{21}$ and a group of formula (5) :



wherein

5 T is selected from: $-CH_2$, O, S and NH;

q is 0, 1, 2, 3, 4, 5 or 6 ;

R^{23} and R^{24} are independently selected from: H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle and $C(=O)R^{25}$, wherein said alkyl and alkenyl optionally contain at least one hetero atom selected from: O, S and N, in any position of the alkyl or alkenyl chain, and said alkyl or alkenyl are unsubstituted or substituted with at least one group selected from: $-OR^1$, $-OC(=O)R^1$, $-OS(=O)_2R^1$, $-S(=O)_2NR^1R^2$, $-OC(=O)OR^1$, $-OC(=O)SR^1$, $-OC(=O)NR^1R^2$, $-SR^1$, $-S(=O)R^1$, $-SC(=O)H$, $-SC(=O)OR^1$, $-NR^1(OR^2)$, $-NR^1R^2$, $-NR^1C(=O)R^2$, $-N(R^1)C(=O)OR^2$, $-NR^1S(=O)_2R^2$, $C(=O)OR^1$, $-S(=O)_2R^1$ and $-S(=O)_2OR^1$; wherein R^1 , R^2 , R^{21} and R^{22} are as defined in general formula (I);

15 R^{25} is selected from: OR^5 , SR^5 , $-OCR^3R^4$ and $-NR^5R^6$, wherein R^3 , R^4 , R^5 and R^6 are as defined in general formula (I), and wherein optionally R^3 and R^4 , together with the carbon atom to which they are attached, form an unsubstituted or substituted 5-, 6- or 7- membered saturated, partially saturated or aromatic heterocycle having one or more heteroatoms selected from: N, O and S, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkoxy, alkenyl, alkynyl, oxo, carboxy and $-C(=O)OR^5$; and the group NR^5R^6 is, optionally, a heterocycle containing at least one additional heteroatom selected from: O, S, and N; with the proviso that at least one of the groups R^K , R^L , R^V and R^U is OH;

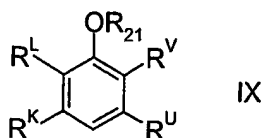
20 R^D and R^E are independently selected from: H and an unsubstituted or substituted group selected from: alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl and heterocycle, wherein the substituents are selected from: hydroxy, halogen, alkyl, alkenyl, alkynyl, oxo, carboxy, $-C(=O)OR^5$, $-OR^{17}$, $-SR^{17}$, $-NR^{17}R^{18}$, $-NHC(=O)R^{17}$, $-NHC(=O)OR^{17}$, $-OC(=O)R^{17}$, $-SC(=O)R^{17}$, $-OS(=O)_2R^{17}$ and $-NHS(=O)_2R^{17}$;

R^{17} and R^{18} have the same meaning as R^5 and R^6 ;

30 R' is H, a protected amino group or a leaving group; and

n is 0, 1, 2, 3, 4 or 5;

which process comprises reacting a mono- or polyhydroxy phenol of the formula (IX):



wherein R^{21} is selected from H, alkyl or aralkyl; and

R^K , R^L , R^V and R^U have the meaning defined above;

with a compound of formula (X):



5 wherein

R^D , R^E , R' and n are as defined above,

in the presence of an inorganic acid and a catalyst at a temperature in the range of 0°C to 60°C, for a period of 2 to 12 h, in an organic solvent or a mixture of at least two organic solvents, and, optionally, isolating the intermediate from the reaction mixture.

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